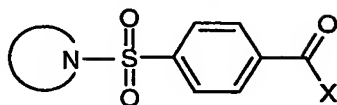


-181-

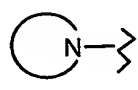
WE CLAIM:

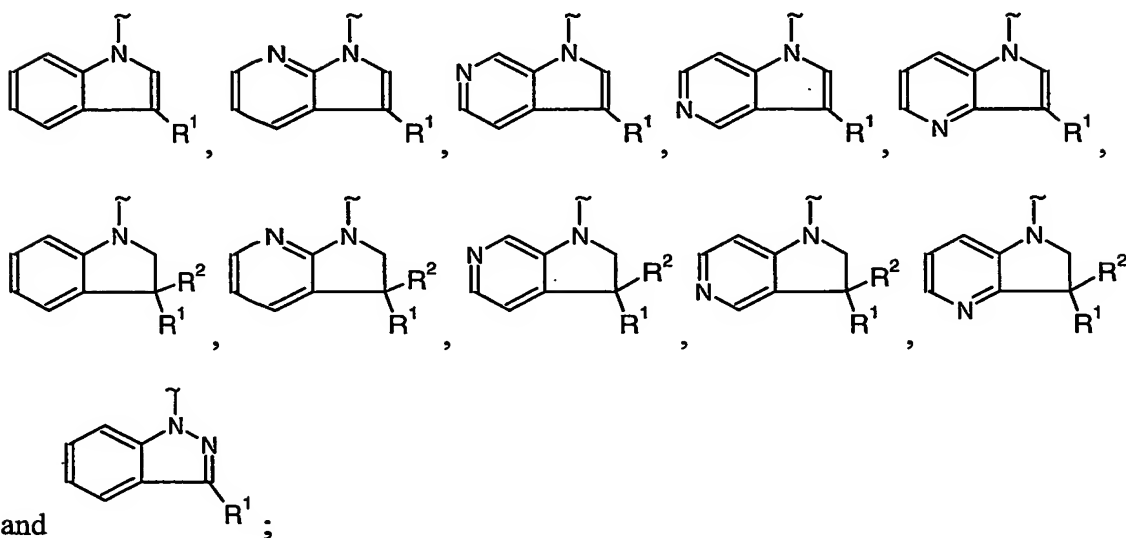
1. A compound of formula I



Formula I

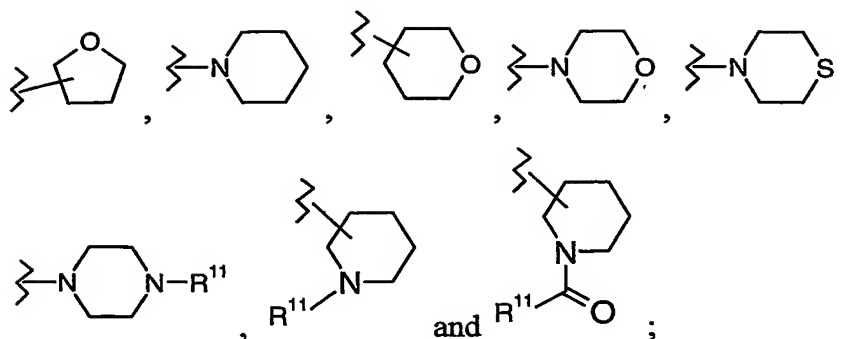
5 wherein:

 is a 6,5-bicyclic ring selected from the group consisting of:

10  $R^1$  is selected from the group consisting of:

- (a) hydrogen,
- (b) alkylcarbonyl optionally substituted with heterocyclyl,
- (c) heterocyclylcarbonyl optionally substituted with alkyl or acetyl,
- (d) alkyl or haloalkyl,
- 15 (e) cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of alkyl, halo, oxo, hydroxy, alkoxy, amino, alkylamino and dialkylamino,
- (f) heterocyclyl selected from the group consisting of:

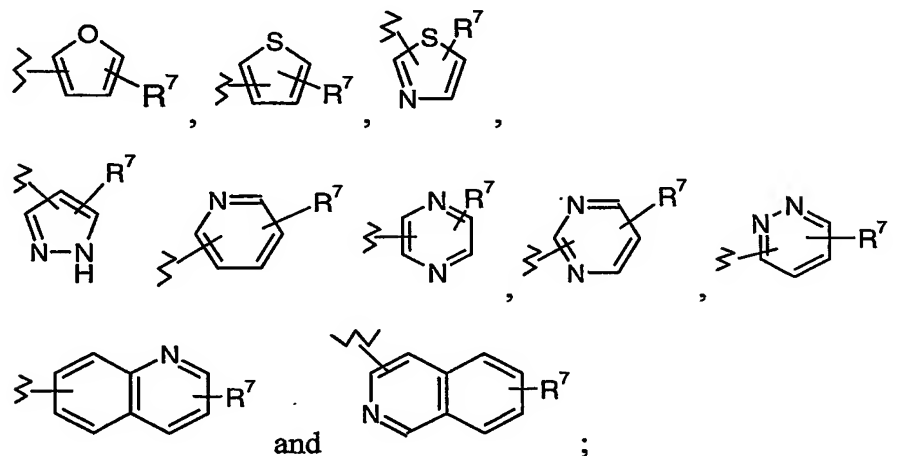
-182-



(g) aryl optionally substituted with halo, alkyl, alkoxy, cyano, amino, alkylamino or dialkylamino, and

5

(h) heteroaryl selected from the group consisting of:



$R^2$  is hydrogen, alkyl, heterocyclyl or, together with  $R^1$  and the carbon to which

10 they are attached, forms a saturated ring substituent selected from the group consisting of:

(a) cycloalkyl, and

(b) heterocyclyl selected from the group consisting of:

tetrahydrofuranyl, tetrahydropyranyl and piperidinyl optionally N-substituted with alkyl, acetyl or aryl,

15

X is  $-NR^{13}R^3$  or ;

$R^3$  is selected from the group consisting of:

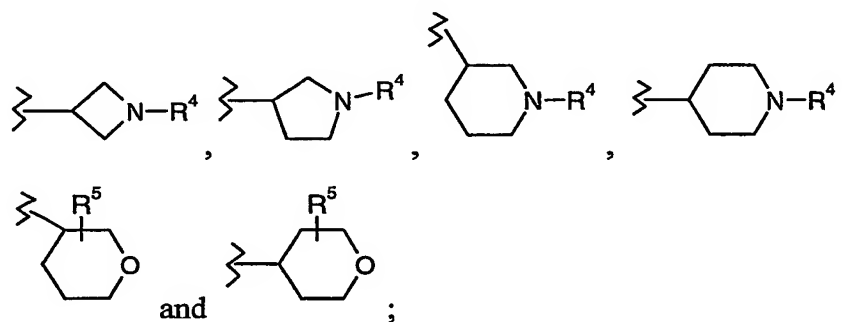
(a) hydrogen,

-183-

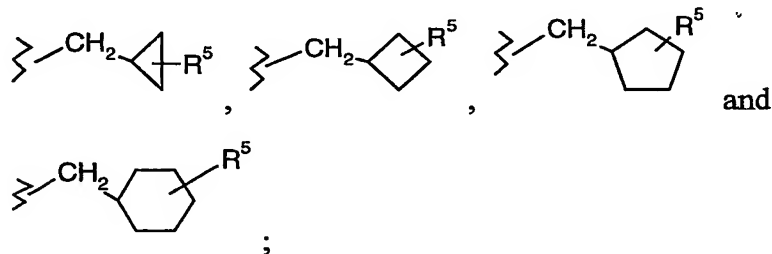
(b) alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, halogen, amino, alkylamino and dialkylamino,

5 (c) cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, halo, amino, alkylamino and dialkylamino,

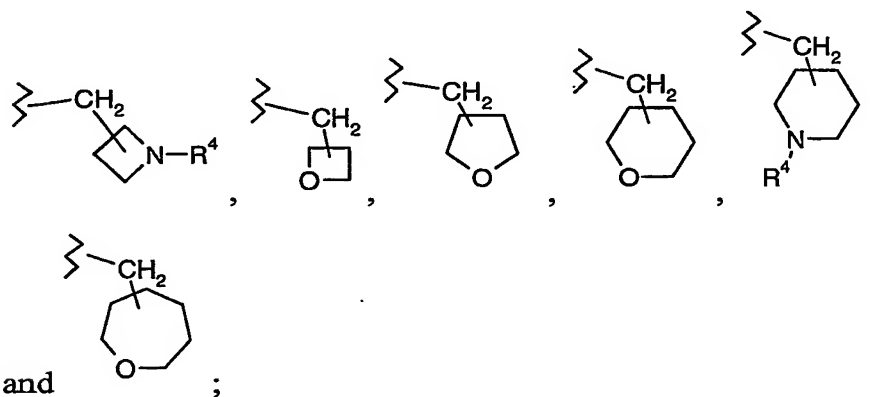
(d) heterocyclyl selected from the group consisting of:



10 (e) cycloalkylalkyl selected from the group consisting of:

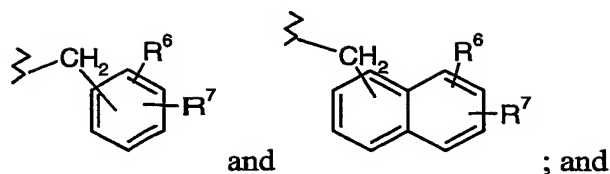


(f) heterocyclylalkyl selected from the group consisting of:

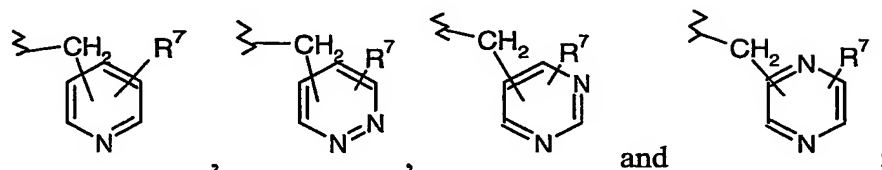


15 (g) arylalkyl selected from the group consisting of

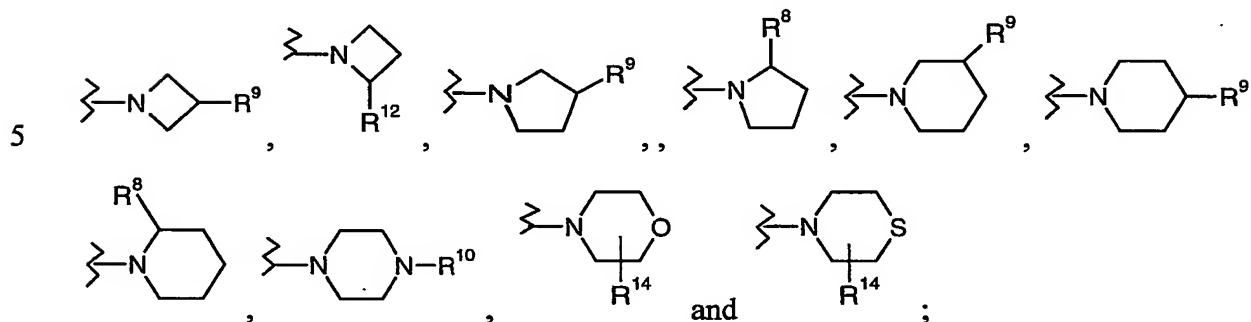
-184-



(h) heteroarylalkyl selected from the group consisting of:



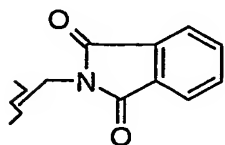
is a heterocyclic ring selected from the group consisting of:

 $R^4$  is hydrogen, phenyl, halophenyl, acyl or alkoxycarbonyl; $R^5$  is hydrogen, hydroxy or alkoxy;

each of  $R^6$  and  $R^7$  is independently selected from hydrogen, halo, cyano, alkyl,  
 10 alkoxy, haloalkyl, haloalkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl,  
 dialkylaminocarbonyl, aryl and aryloxy;

$R^8$  is hydrogen, hydroxyalkyl, acyl, oxo, aryl, pyridinyl, alkyl-SO<sub>2</sub>-O-,  
 $R^b$ -NH-CH<sub>2</sub>-, arylalkyl, or  $R^c$ N-CO-O-;

$R^9$  is hydrogen, hydroxy, hydroxyalkyl, acyl, halo, dihalo, oxo, aryl,  
 15 haloaryl-CH<sub>2</sub>-, pyridinyl, alkyl-SO<sub>2</sub>-O-,  $R^a$ -NH-,  $R^b$ -NH-CH<sub>2</sub>-, arylalkyl,

or  $R^c$ N-CO-O-; $R^{10}$  is hydrogen, alkyl, alkoxycarbonyl, aryl or haloaryl; $R^{11}$  is hydrogen, alkyl or aryl;

-185-

$R^{12}$  is hydrogen or aryl;

$R^{13}$  is hydrogen or alkyl;

$R^{14}$  is hydrogen, alkyl, aryl or acyl;

$R^a$  is hydrogen, alkoxycarbonyl or halophenyl;

5  $R^b$  is hydrogen, alkoxy, phenyl, halophenyl, halophenylalkyl, halopyridinyl, pyrimidinyl, alkoxycarbonyl, dialkylaminocarbonyl, or dialkylaminothiocarbonyl; and

$R^c$  is hydrogen or alkyl;

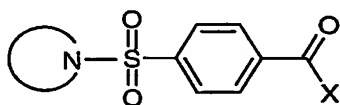
and all salts, solvates, optical and geometric isomers, and crystalline forms thereof and with the proviso that the compound of formula (I) is other than [4-(2,3-dihydro-indole-1-

10 sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone,

[4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, and

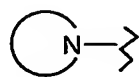
[4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone.

2. A compound of formula I

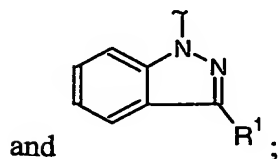
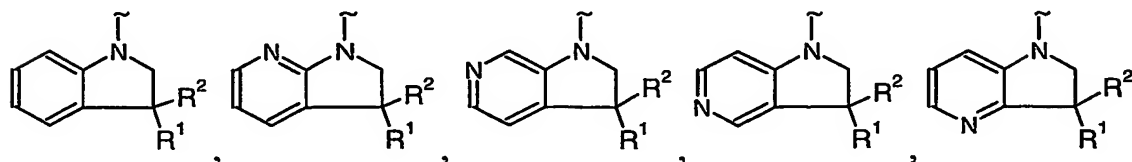
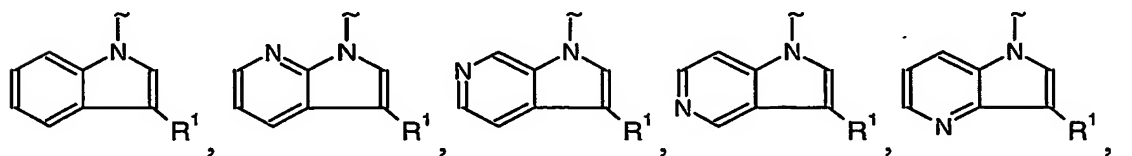


Formula I

wherein:



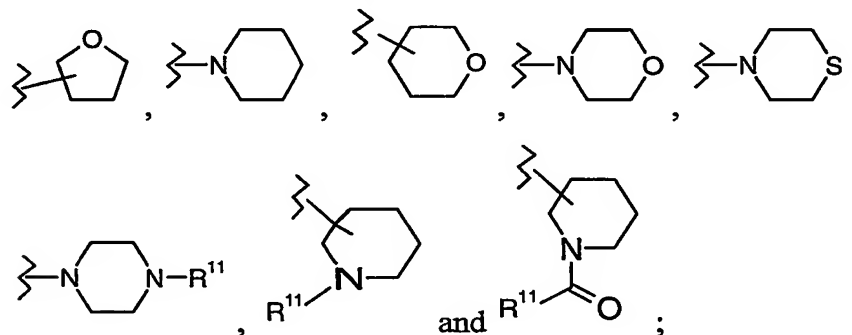
is a 6,5-bicyclic ring selected from the group consisting of:



-186-

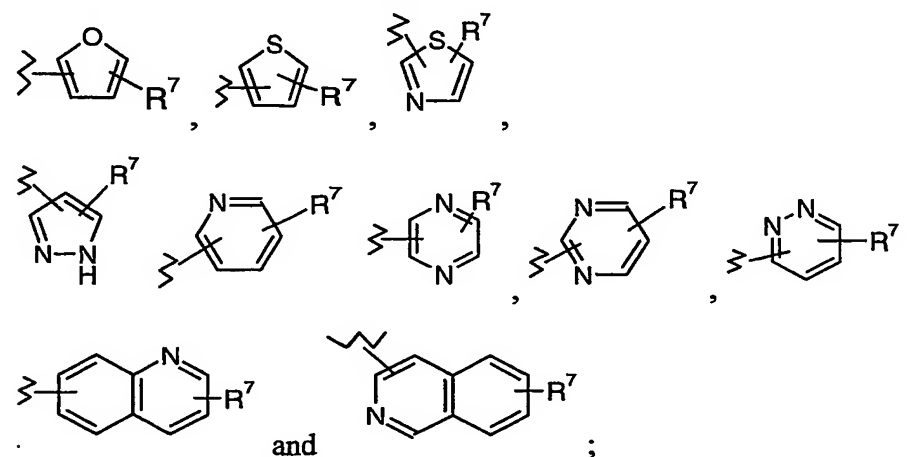
$R^1$  is selected from the group consisting of:

- (a) hydrogen,  
 (b) alkylcarbonyl optionally substituted with heterocyclyl,  
 (c) heterocyclcarbonyl optionally substituted with alkyl or acetyl,  
 (d) alkyl or haloalkyl,  
 (e) cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of alkyl, halo, oxo, hydroxy, alkoxy, amino, alkylamino and dialkylamino,  
 (f) heterocyclyl selected from the group consisting of:



- (g) aryl optionally substituted with halo, alkyl, alkoxy, cyano, amino, alkylamino or dialkylamino, and

- (h) heteroaryl selected from the group consisting of:



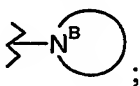
$R^2$  is hydrogen, alkyl, heterocyclyl or, together with  $R^1$  and the carbon to which they are attached, forms a saturated ring substituent selected from the group consisting of:

- (a) cycloalkyl, and

-187-

(b) heterocyclyl selected from the group consisting of:

tetrahydrofuranyl, tetrahydropyranyl and piperidinyl optionally  
N-substituted with alkyl, acetyl or aryl,

X is  $-\text{NR}^3$  or ;

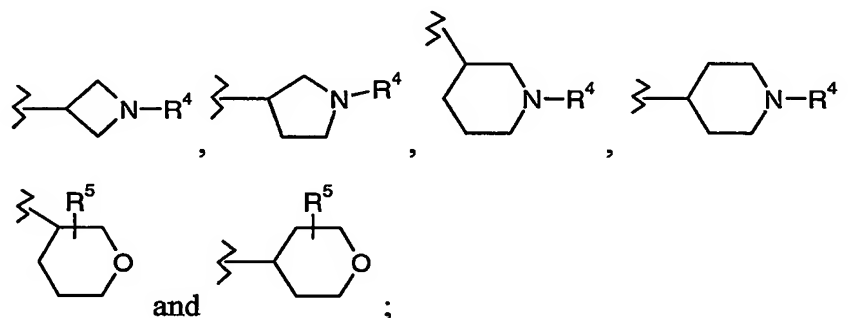
$\text{R}^3$  is selected from the group consisting of:

(a) hydrogen,

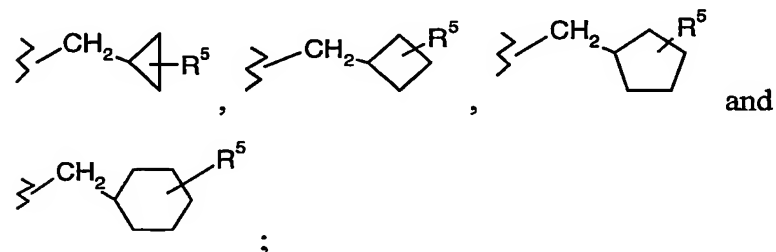
(b) alkyl optionally substituted with one or two substituents independently  
selected from the group consisting of hydroxy, alkoxy, halogen, amino,  
alkylamino and dialkylamino,

(c) cycloalkyl optionally substituted with one or two substituents  
independently selected from the group consisting of hydroxy, alkoxy, halo,  
amino, alkylamino and dialkylamino,

(d) heterocyclyl selected from the group consisting of:

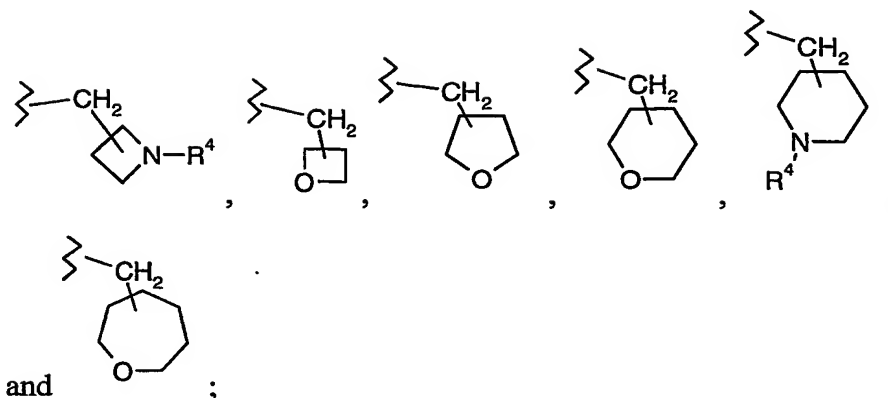


(e) cycloalkylalkyl selected from the group consisting of:

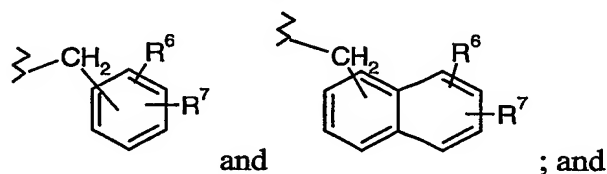


(f) heterocyclylalkyl selected from the group consisting of:

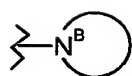
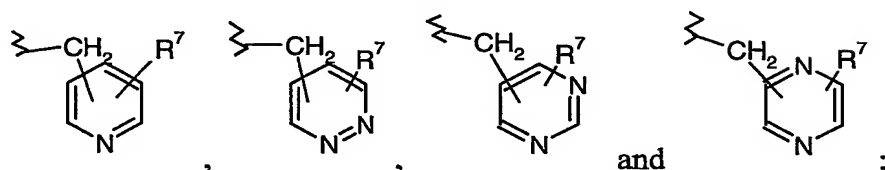
-188-



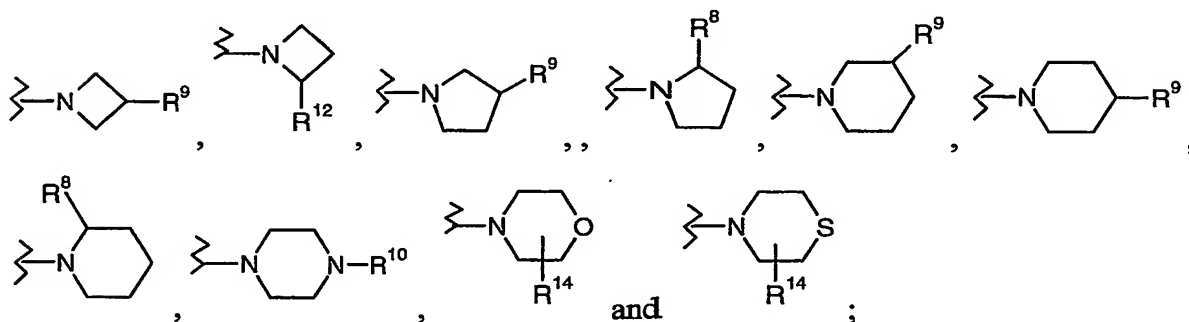
(g) arylalkyl selected from the group consisting of



(h) heteroarylalkyl selected from the group consisting of:



is a heterocyclic ring selected from the group consisting of:

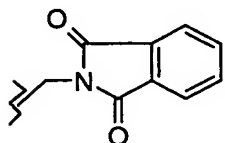
 $R^4$  is hydrogen, phenyl, halophenyl, acyl or alkoxycarbonyl; $R^5$  is hydrogen, hydroxy or alkoxy;

each of  $R^6$  and  $R^7$  is independently selected from hydrogen, halo, cyano, alkyl, alkoxy, haloalkyl, haloalkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, dialkylaminocarbonyl, aryl and aryloxy;

-189-

$R^8$  is hydrogen, hydroxyalkyl, acyl, oxo, aryl, pyridinyl, alkyl-SO<sub>2</sub>-O-,  
 $R^b$ -NH-CH<sub>2</sub>-, arylalkyl, or R<sup>c</sup><sub>2</sub>N-CO-O-;

$R^9$  is hydrogen, hydroxy, hydroxyalkyl, acyl, halo, dihalo, oxo, aryl,  
haloaryl-CH<sub>2</sub>-, pyridinyl, alkyl-SO<sub>2</sub>-O-, R<sup>a</sup>-NH-, R<sup>b</sup>-NH-CH<sub>2</sub>-, arylalkyl,



or R<sup>c</sup><sub>2</sub>N-CO-O-;

$R^{10}$  is hydrogen, alkyl, alkoxycarbonyl, aryl or haloaryl;

$R^{11}$  is hydrogen, alkyl or aryl;

$R^{12}$  is hydrogen or aryl;

$R^{13}$  is hydrogen or alkyl;

$R^{14}$  is hydrogen, alkyl, aryl or acyl;

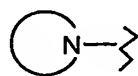
$R^a$  is hydrogen, alkoxycarbonyl or halophenyl;

$R^b$  is hydrogen, alkoxy, phenyl, halophenyl, halophenylalkyl, halopyridinyl,  
pyrimidinyl, alkoxycarbonyl, dialkylaminocarbonyl, or dialkylaminothiocarbonyl; and

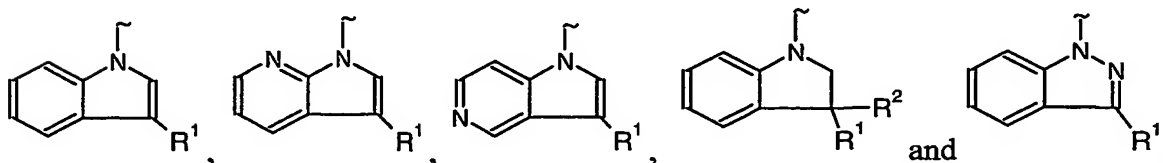
$R^c$  is hydrogen or alkyl;

and all optical and geometric isomers and crystalline forms thereof and with the proviso  
that the compound of formula (I) is other than [4-(2,3-dihydro-indole-1-sulfonyl)-  
phenyl]-(4-phenyl-piperazin-1-yl)-methanone,  
[4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, and [4-(2,3-  
dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone.

3. The compound of Claim 1 or Claim 2, wherein:



is a 6,5-bicyclic ring selected from the group consisting of:

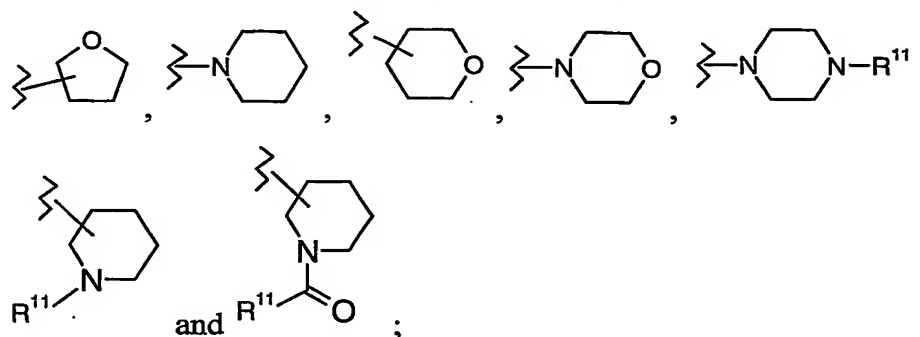


$R^1$  is selected from the group consisting of:

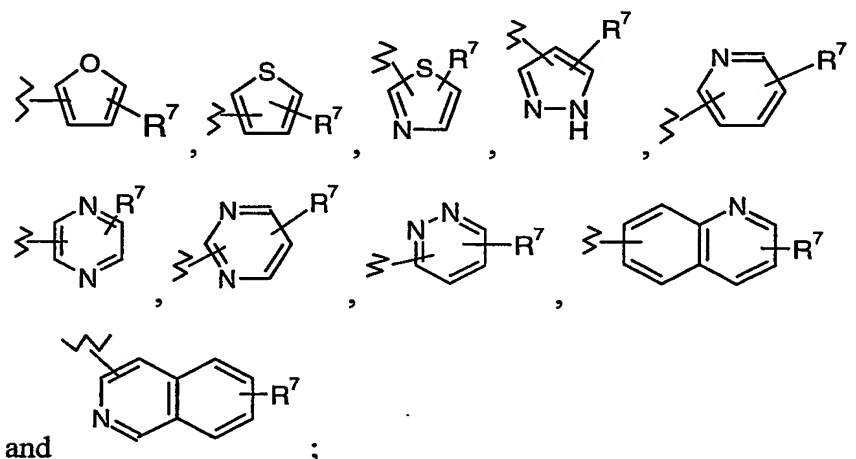
(a) hydrogen,

-190-

- (b) alkylcarbonyl optionally substituted with heterocyclyl,  
 (c) heterocyclylcarbonyl optionally substituted with alkyl or acetyl,  
 (d) methyl, propyl, t-butyl or trifluoromethyl,  
 (e) cycloalkyl optionally substituted with oxo, hydroxy, methoxy, difluoro or methyl,  
 (f) heterocyclyl selected from the group consisting of:



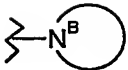
- (g) phenyl optionally substituted with halo, methyl, methoxy, cyano or dimethylamino, and  
 (h) heteroaryl selected from the group consisting of:



$R^2$  is hydrogen, methyl, ethyl, or together with  $R^1$  and the carbon to which they are attached, forms a saturated ring substituent selected from the group consisting of:

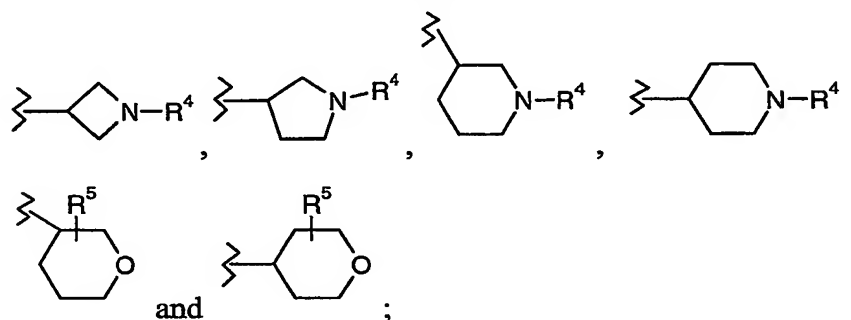
- (a) cycloalkyl, and  
 (b) heterocyclyl selected from the group consisting of: tetrahydropyranyl, and N-methylpiperidin-4-yl;

-191-

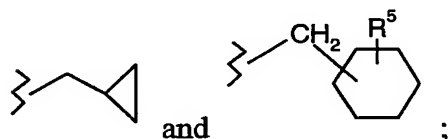
X is  $-NR^{13}R^3$  or  ;

$R^3$  is selected from the group consisting of:

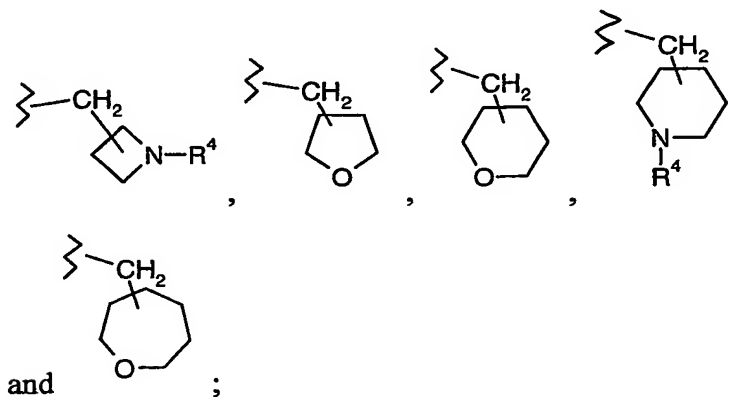
- (a) hydrogen,  
 (b)  $(C_1-C_2)$  alkyl optionally substituted with  $(C_1-C_2)$  alkoxy,  
 5 (c)  $(C_4-C_6)$  cycloalkyl optionally substituted with one or two substituents independently selected from hydroxy, methoxy, amino, alkylamino, and dialkylamino;  
 (d) heterocyclyl selected from the group consisting of:



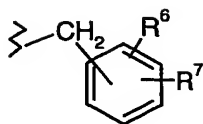
- (e) cycloalkylalkyl selected from the group consisting of:



- (f) heterocyclylalkyl selected from the group consisting of:

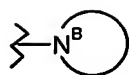
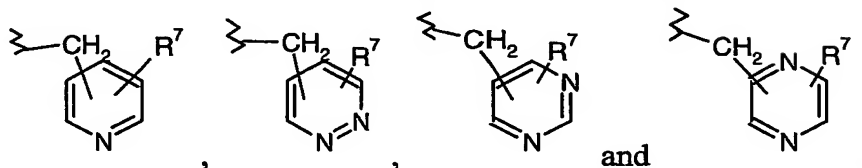


-192-

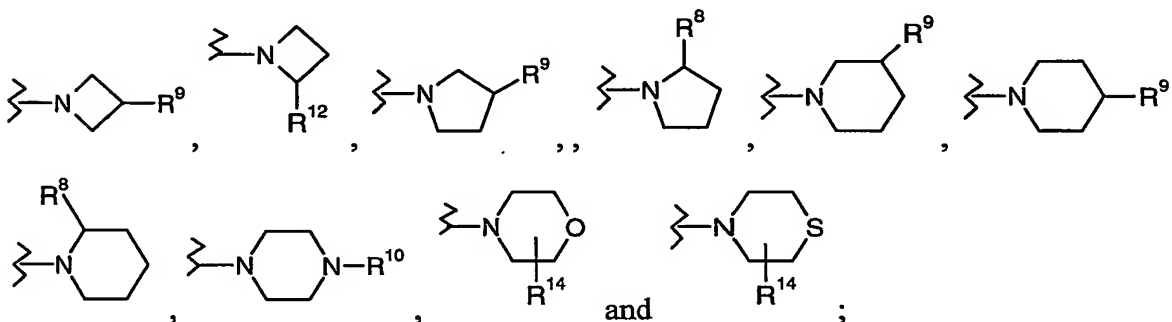


(g) arylalkyl which is ; and

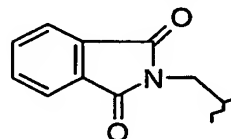
(h) heteroarylalkyl selected from the group consisting of:



is a heterocyclic ring selected from the group consisting of:

 $R^4$  is hydrogen, phenyl, fluorophenyl, t-butyloxycarbonyl or methoxycarbonyl; $R^5$  is hydrogen, hydroxy or methoxy;each of  $R^6$  and  $R^7$  is independently selected from the group consisting of hydrogen,

10 alkyl, fluoro, chloro, trifluoromethyl, cyano, methoxy, amino, monomethylamino, dimethylamino, methoxycarbonyl and dimethylaminocarbonyl;

 $R^8$  is hydrogen, hydroxyalkyl, acyl, oxo, aryl, pyridinyl, alkyl-SO<sub>2</sub>-O-, $R^b$ -NH-CH<sub>2</sub>-, arylalkyl or (CH<sub>3</sub>)<sub>2</sub>N-CO-O-; $R^9$  is hydrogen, hydroxy, hydroxymethyl, acetyl, fluoro, difluoro, oxo, phenyl,

15 benzyl, pyridinyl, CH<sub>3</sub>-SO<sub>2</sub>-O-,  $R^a$ -NH-,  $R^b$ -NH-CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>N-CO-O-;

 $R^{10}$  is hydrogen or alkyl; $R^{11}$  is hydrogen or alkyl;

-193-

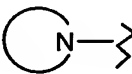
$R^{12}$  is hydrogen or phenyl;

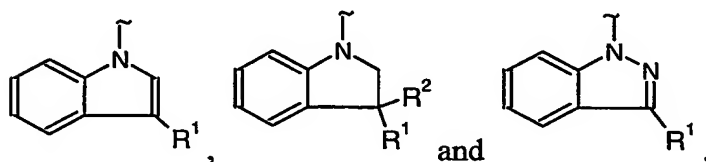
$R^{13}$  is hydrogen or methyl;

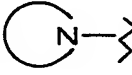
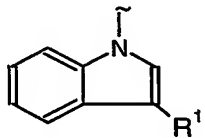
$R^{14}$  is hydrogen, methyl, phenyl or acetyl;

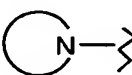
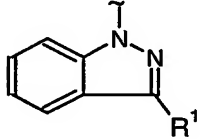
$R^a$  is hydrogen, methoxycarbonyl, t-butyloxycarbonyl or fluorophenyl; and

5  $R^b$  is hydrogen, methoxy, phenyl, phenylalkyl, fluorophenylalkyl, fluorophenyl, pyridinyl, fluoropyridinyl, pyrimidinyl, methoxycarbonyl, t-butyloxycarbonyl, dimethylaminocarbonyl or dimethylaminothiocarbonyl.

10 4. The compound of Claim 1, 2, or 3, wherein  is a 6,5-bicyclic ring selected from the group consisting of:



5. The compound of Claim 1, 2, 3, or 4 wherein  is .

6. The compound of Claim 1, 2, 3, or 4 wherein  is .

15 7. The compound of Claim 4, 5, or 6, wherein  $R^1$  is aryl optionally substituted with halo, alkyl, alkoxy, cyano, amino, alkylamino or dialkylamino.

8. The compound of Claim 7, wherein  $R^1$  is phenyl.

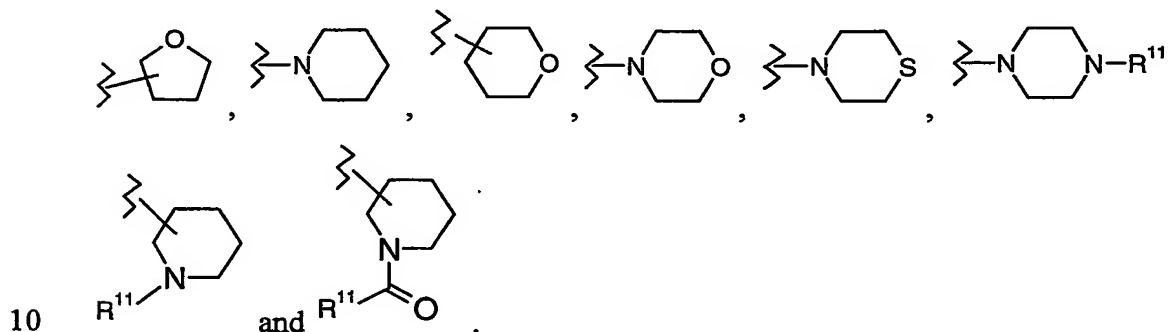
20

-194-

9. The compound of Claim 4, 5, or 6, wherein  $R^1$  is cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of alkyl, halo, oxo, hydroxy, alkoxy, amino, alkylamino and dialkylamino.

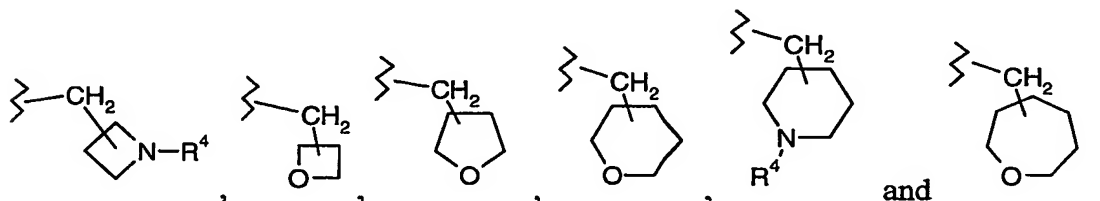
5 10. The compound of Claim 9, wherein  $R^1$  is cyclopentyl.

11. The compound of Claim 4, 5, or 6 or wherein  $R^1$  is heterocyclyl selected from the group consisting of:

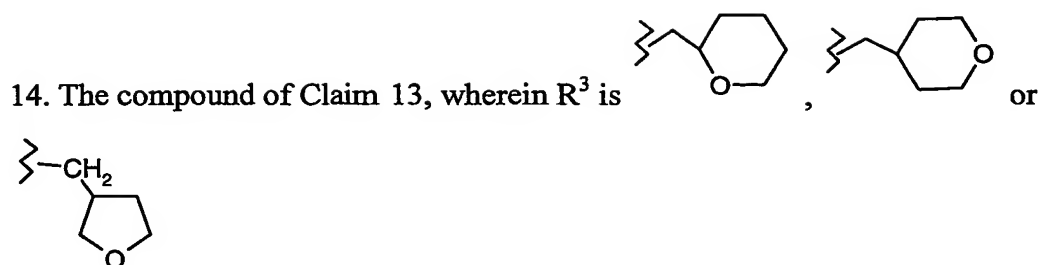


12. The compound of Claim 11, wherein  $R^1$  is tetrahydropyran-4-yl.

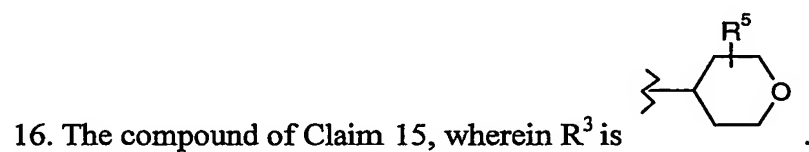
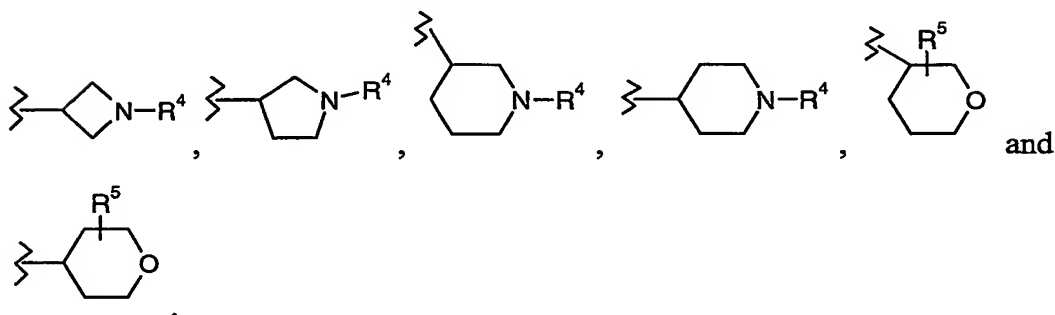
15 13. The compound of any one of Claims 1 to 12, wherein  $R^3$  is heterocyclylalkyl selected from the group consisting of:



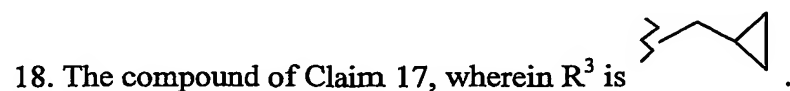
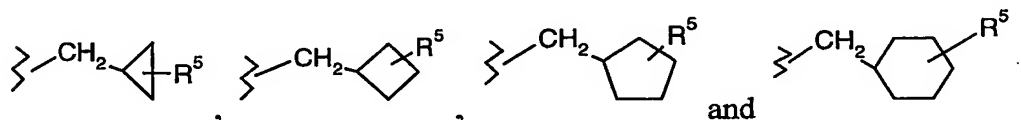
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15. The compound of any one of Claims 1 to 12, wherein  $R^3$  is heterocyclyl selected from the group consisting of:

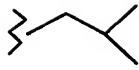


17. The compound of any one of Claims 1 to 12, wherein  $R^3$  is cycloalkylalkyl selected from the group consisting of:

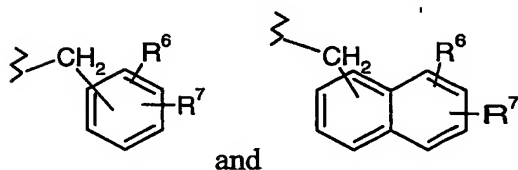


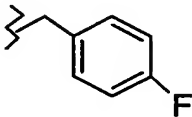
19. The compound of any one of Claims 1 to 12, wherein  $R^3$  is alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, halogen, amino, alkylamino and dialkylamino.

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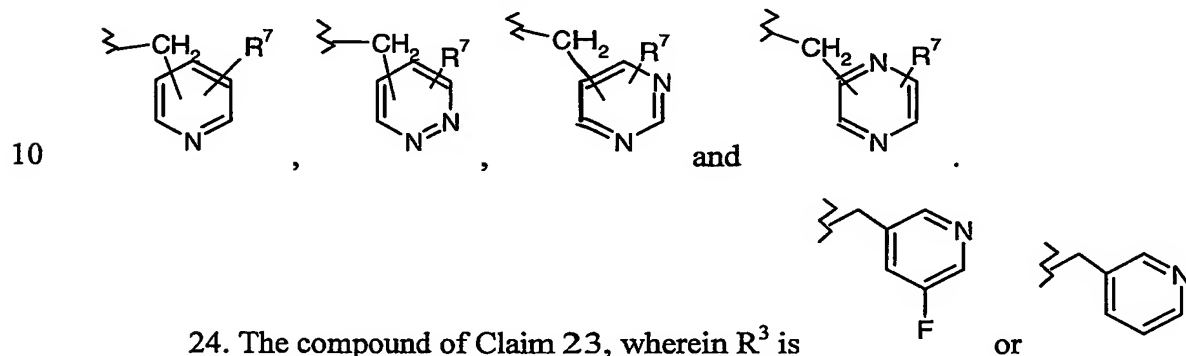
20. The compound of Claim 19, wherein R<sup>3</sup> is .

21. The compound of any one of Claims 1 to 12, wherein R<sup>3</sup> is arylalkyl selected from the group consisting of:



22. The compound of Claim 21, wherein R<sup>3</sup> is .

23. The compound of any one of Claims 1 to 12, wherein R<sup>3</sup> is heteroarylalkyl selected from the group consisting of:



25. A compound according to any one of Claims 1 to 24, wherein the compound is:

- 15 N-(4-Fluoro-benzyl)-4-(3-phenyl-indole-1-sulfonyl)-benzamide,  
 N-(5-Fluoro-pyridin-3-ylmethyl)-4-(3-phenyl-indole-1-sulfonyl)-benzamide,  
 4-(3-Phenyl-indole-1-sulfonyl)-N-(tetrahydro-pyran-4-ylmethyl)-benzamide,  
 4-(3-Cyclopentyl-indole-1-sulfonyl)-N-(4-fluoro-benzyl)-benzamide,  
 N-(4-Fluoro-benzyl)-4-[3-(tetrahydro-pyran-4-yl)-indole-1-sulfonyl]-benzamide,  
 20 N-Cyclopropylmethyl-4-(3-phenyl-indole-1-sulfonyl)-benzamide,  
 4-(3-Cyclopentyl-indole-1-sulfonyl)-N-(tetrahydro-pyran-4-yl)-benzamide, or

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4-(3-Cyclopentyl-indole-1-sulfonyl)-N-(tetrahydro-pyran-4-ylmethyl)-benzamide.

26. A compound according to any one of Claims 1 to 25, wherein the compound is:

5 4-(3-Cyclopentyl-indole-1-sulfonyl)-N-(4-fluoro-benzyl)-benzamide.

27. A pharmaceutical composition comprising a compound according to any one of claims 1 to 26 or [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, or  
10 [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone in an amount effective to antagonize CB-1 receptor stimulation, and a pharmaceutically acceptable carrier, diluent or excipient.

28. A pharmaceutical composition comprising a compound according to any one of Claims 1 to 26 or [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone, or [4-(3-Fluoro-phenyl)-piperidin-1-yl]-[4-(3-phenyl-indole-1-sulfonyl)-phenyl]-methanone  
15 in an amount effective to reduce endocannabinoid neurotransmission through CB-1 receptors, and a pharmaceutically acceptable carrier, diluent or excipient.  
20

29. A pharmaceutical composition comprising a compound according to any one of Claims 1 to 26 or [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone, or [4-(3-Fluoro-phenyl)-piperidin-1-yl]-[4-(3-phenyl-indole-1-sulfonyl)-phenyl]-methanone and a  
25 pharmaceutically acceptable carrier, diluent or excipient.

30. A method for treating a condition which is treatable by reducing CB-1  
30 receptor stimulation, comprising administering to a mammal in need thereof a composition according to any one of Claims 27, 28 or 29.

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31. The method of Claim 30, wherein the mammal is a human.

32. The method of Claim 30 or Claim 31, wherein the condition is psychosis, memory deficit, cognitive disorder, migraine, neuropathy, neuroinflammatory disorder, cerebral vascular accident, head trauma, anxiety disorder, stress, depression, epilepsy, Parkinson's disease, schizophrenia, substance abuse disorder, obesity, or an eating disorder associated with excessive food intake.

33. The method of Claim 32, wherein the condition is obesity.

34. A compound of Claim 1 of Formula (I), [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone, or [4-(3-Fluoro-phenyl)-piperidin-1-yl]-[4-(3-phenyl-indole-1-sulfonyl)-phenyl]-methanone for use in therapy.

35. Use of a compound according to any one of Claims 1 to 26, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone, or [4-(3-Fluoro-phenyl)-piperidin-1-yl]-[4-(3-phenyl-indole-1-sulfonyl)-phenyl]-methanone for the manufacture of a medicament for treating a condition which is treatable by reducing CB-1 receptor stimulation.

36. The use of Claim 35, wherein the condition is psychosis, memory deficit, cognitive disorder, migraine, neuropathy, neuroinflammatory disorder, cerebral vascular accident, head trauma, anxiety disorder, stress, depression, epilepsy, Parkinson's disease, schizophrenia, substance abuse disorder, obesity, or an eating disorder associated with excessive food intake.

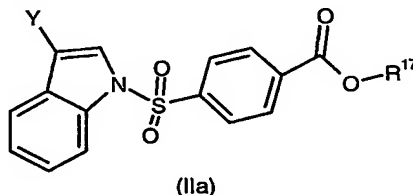
37. The use of Claim 36, wherein the condition is obesity.

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38. A method for treating a condition selected from the group consisting of psychosis, memory deficit, cognitive disorder, migraine, neuropathy, neuroinflammatory disorder, cerebral vascular accident, head trauma, anxiety disorder, stress, depression, epilepsy, Parkinson's disease, schizophrenia, substance abuse disorder, obesity, and an eating disorder associated with excessive food intake, comprising: administering to a mammal in need thereof a compound according to any one of claims 1-26, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-morpholin-4-yl-methanone, [4-(2,3-dihydro-indole-1-sulfonyl)-phenyl]-piperidin-1-yl-methanone, or [4-(3-Fluoro-phenyl)-piperidin-1-yl]-[4-(3-phenyl-indole-1-sulfonyl)-phenyl]-methanone.

39. The method of Claim 38, wherein the condition is obesity.

40. A compound of formula (IIa)



wherein:

Y is halogen, cyclopentyl, or cyclopent-1-enyl and R<sup>17</sup> is alkyl.

41. A compound of Claim 40, wherein Y is iodo.

42. A compound of Claim 40 or Claim 41, wherein R<sup>17</sup> is methyl.

43. A compound of Claim 40 or Claim 42, wherein Y is cyclopent-1-enyl.

44. A compound of Claim 40 or Claim 42, wherein Y is cyclopentyl.